U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

# SEARCH REQUEST FORM

Requestor's Name: Bond  Serial Number: 10/006579

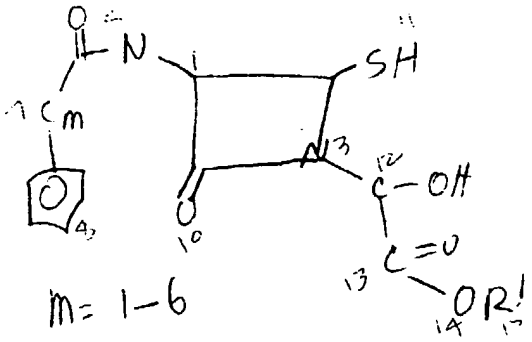
Date: 10/3  Phone: 4[illegible] 978  Art Unit: 162

MDL HER

**Search Topic:**

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

10/781158



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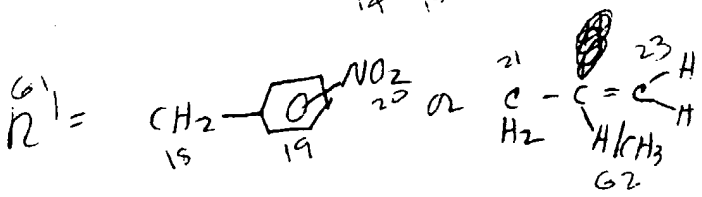


Point of Contact:
Beverly Shears
Technical Info. Specialist
CM1 1E05 Tel: 308-4994

4612

Do not broaden search

C135

## STAFF USE ONLY

Date completed: 10-04-02
Searcher: Beverly e 4994
Terminal time: 12
Elapsed time: _____
CPU time: _____
Total time: 24
Number of Searches: _____
Number of Databases: 1

**Search Site**
_____ STIC
_____ CM-1
_____ Pre-S

**Type f Search**
_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

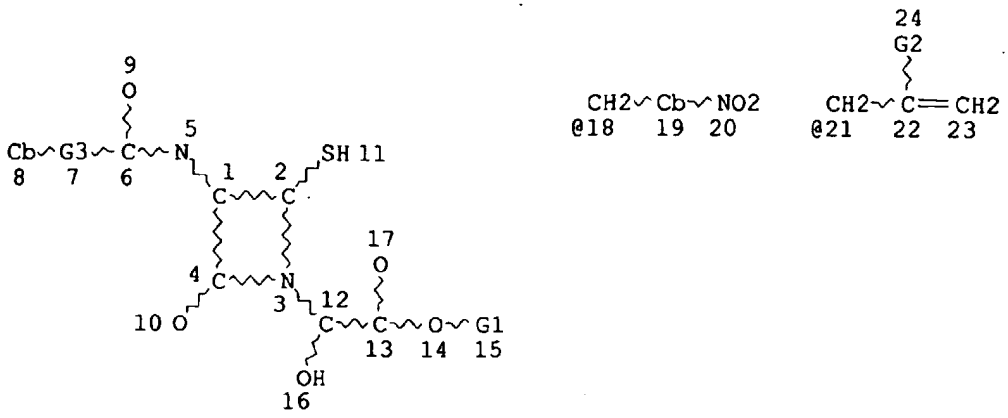
**Vendors**
_____ IG
✓ STN
_____ Dialog
_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

PTO-1580 (9-90)

Berch
10/006579



FILE 'REGISTRY' ENTERED AT 15:38:11 ON 04 OCT 2002)
L7 STR




VAR G1=18/21
VAR G2=H/CH3
REP G3=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE
L9 1 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 165 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.03

FILE 'HCAPLUS' ENTERED AT 15:45:34 ON 04 OCT 2002
L10 1 S L9

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:449689 HCAPLUS
DOCUMENT NUMBER: 137:33162
TITLE: Process for the preparation of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compounds via an intramolecular Wittig reaction
INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002046199 | A1 | 20020613 | WO 2001-IB2181 | 20011119 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002023929 | A5 | 20020618 | AU 2002-23929 | 20011119 |
| US 2002099205 | A1 | 20020725 | US 2001-6579 | 20011204 |
| PRIORITY APPLN. INFO.: | | | US 2000-251018P P | 20001204 |
| | | | WO 2001-IB2181 W | 20011119 |

OTHER SOURCE(S): CASREACT 137:33162; MARPAT 137:33162

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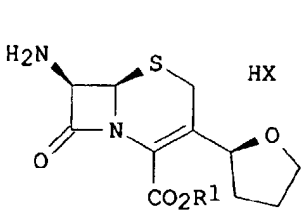


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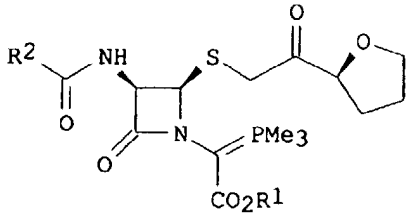


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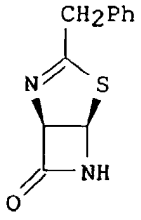



III

AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

IT **436800-39-4P**

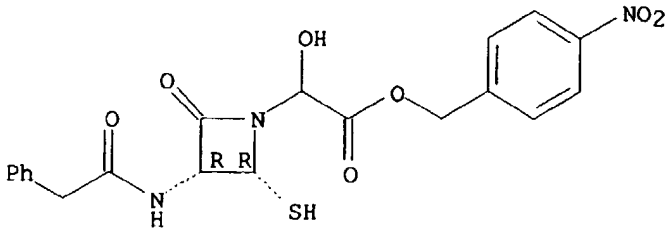
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

RN 436800-39-4 HCAPLUS

CN 1-Azetidineacetic acid, .alpha.-hydroxy-2-mercapto-4-oxo-3-[(phenylacetyl)amino]-, (4-nitrophenyl)methyl ester, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'CAOLD' ENTERED AT 15:46:12 ON 04 OCT 2002
L11 0 S L9

FILE 'USPATFULL' ENTERED AT 15:46:18 ON 04 OCT 2002
L12 1 S L9

L12 ANSWER 1 OF 1 USPATFULL

| | |
|---|---|
| ACCESSION NUMBER: | 2002:186282 USPATFULL |
| TITLE: | Process and ester derivatives useful for preparation of cephalosporins |
| INVENTOR(S): | Colberg, Juan C., Norwich, CT, UNITED STATES<br>Tucker, John L., Niantic, CT, UNITED STATES<br>Zenoni, Maurizio, Milan, ITALY<br>Fogliato, Giovanni, Bergamo, ITALY<br>Donadelli, Alessandro, Lodi, ITALY |
| PATENT ASSIGNEE(S): | Pfizer Inc. (U.S. corporation) |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002099205 | A1 | 20020725 |
| APPLICATION INFO.: | US 2001-6579 | A1 | 20011204 (10) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-251018P | 20001204 (60) |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612 |
| NUMBER OF CLAIMS: | 39 |
| EXEMPLARY CLAIM: | 1 |
| LINE COUNT: | 1433 |

Searcher : Shears 308-4994

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

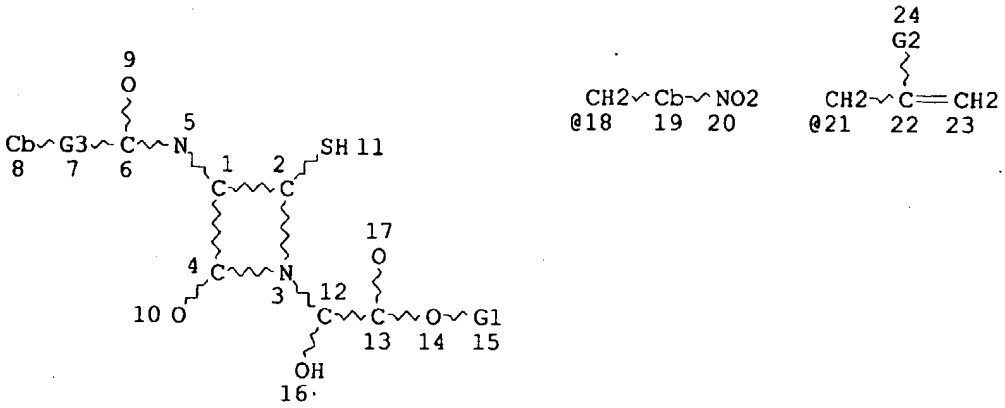
AB This invention relates a process for preparing a compound of formula (I) ##STR1##

wherein R.sup.1 is para-nitrobenzyl or allyl; and X is halo, which is useful to prepare 3-cyclic-ether-substituted cephalosporins, from trimethylphosphinic compounds. This invention also relates to compounds useful in such process.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

[redacted] 'MARPAT' ENTERED AT 15:46:35 ON 04 OCT 2002)

L7 STR




VAR G1=18/21
VAR G2=H/CH3
REP G3=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
MLEVEL IS CLASS ON RING NODES AND RING GROUPS
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L14 [redacted] SEA FILE=MARPAT SSS FUL L7 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 26751 ITERATIONS ( 6 INCOMPLETE) 7 ANSWERS
SEARCH TIME: 00.02.02 [redacted]

L14 ANSWER 1 OF 7 MARPAT COPYRIGHT 2002 ACS
ACCESSION NUMBER: 137:33162 MARPAT
TITLE: Process for the preparation of p-nitrobenzyl or

allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compounds via an intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni, Maurizio; Fogliato, Giovanni; Donadelli, Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002046199 | A1 | 20020613 | WO 2001-IB2181 | 20011119 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002023929 | A5 | 20020618 | AU 2002-23929 | 20011119 |
| US 2002099205 | A1 | 20020725 | US 2001-6579 | 20011204 |
| PRIORITY APPLN. INFO.: | | | US 2000-251018P | 20001204 |
| | | | WO 2001-IB2181 | 20011119 |

OTHER SOURCE(S): CASREACT 137:33162

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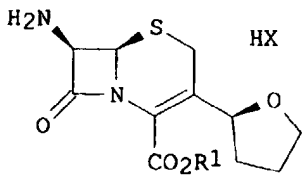


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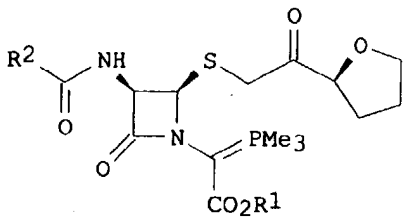


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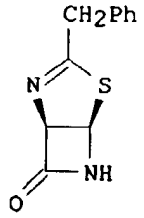


III

AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo)

Searcher : Shears 308-4994

via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

IC ICM C07D501-08
ICS C07D501-18; C07D501-20; C07D405-12; C07F009-568; C07D205-095; C07D513-04; C07D513-04; C07D277-00; C07D205-00

CC 26-5 (Biomolecules and Their Synthetic Analogs)

ST cephalosporin lactam antibiotic cyclic ether substituted prepn; Wittig reaction intramol cyclic ether cephalosporin prepn

IT Wittig reaction
(intramol.; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT Lactams
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(.beta.-; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT Antibiotics
(.beta.-lactam; process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 676-96-0
(prepn. of)

IT 436100-73-1P 436100-74-2P 436100-75-3P 436100-76-4P 436100-77-5P 436100-78-6P 436800-38-3P 436800-39-4P 436800-40-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 436100-68-4P 436800-42-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-64-1, Acetone, uses 68-12-2, DMF, uses 71-23-8, Propanol, uses 75-09-2, Methylene chloride, uses
RL: NUU (Other use, unclassified); USES (Uses)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 79-37-8, Oxalyl chloride 594-09-2, Trimethylphosphine 619-73-8, 4-Nitrobenzylalcohol 34103-69-0 64370-42-9, Allyl glyoxylate 131328-27-3 141194-61-8 192049-49-3 436800-46-3 436801-05-7

436801-06-8 436801-07-9 436801-08-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 81779-73-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

IT 108-48-5, 2,6-Lutidine 109-02-4, N-Methylmorpholine 110-86-1, Pyridine, reactions 288-32-4, Imidazole, reactions 507-16-4, Thionyl bromide 7719-09-7, Thionyl chloride 7719-12-2, Phosphorus trichloride 7789-60-8, Phosphorus tribromide
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 136:257270 MARPAT
TITLE: Methods of decreasing or preventing pain using spicamycin derivatives
INVENTOR(S): Borsook, David
PATENT ASSIGNEE(S): The General Hospital Corporation, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002024146 | A2 | 20020328 | WO 2001-US29371 | 20010920 |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-234382P 20000920

AB Methods of providing pain relief by administering a water-sol. deriv. of spicamycin. Methods of using pain mediation agents are also provided.

IC ICM A61K
CC 1-11 (Pharmacology)
ST pain spicamycin analgesia
IT Body, anatomical

(back, pain; spicamycin derivs. for prevention and treatment of various pains)
IT Nerve, disease
(diabetic neuropathy; spicamycin derivs. for prevention and treatment of various pains)
IT Drug delivery systems
(implants; spicamycin derivs. for prevention and treatment of various pains)
IT Herpesviridae
(infection, neuropathy; spicamycin derivs. for prevention and treatment of various pains)
IT Drug delivery systems
(injections, i.v.; spicamycin derivs. for prevention and treatment of various pains)
IT Nerve, disease
(neuralgia; spicamycin derivs. for prevention and treatment of various pains)
IT Pancreas, disease
(neuropathy; spicamycin derivs. for prevention and treatment of various pains)
IT Pain
(opioid-resistant; spicamycin derivs. for prevention and treatment of various pains)
IT Viscera
(pain; spicamycin derivs. for prevention and treatment of various pains)
IT Drug delivery systems
(slow-release; spicamycin derivs. for prevention and treatment of various pains)
IT Analgesics
Human
(spicamycin derivs. for prevention and treatment of various pains)
IT 87099-85-2, Spicamycin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(spicamycin derivs. for prevention and treatment of various pains)

L14 ANSWER 3 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)

| | |
|---|---|
| ACCESSION NUMBER: | 135:318706 MARPAT |
| TITLE: | Preparation of halogenated 2-amino-5,6-heptenoic acid derivatives useful as nitric oxide synthase inhibitors |
| INVENTOR(S): | Grapperhaus, Margaret L.; Sikorski, James A.; Awasthi, Alok K.; Wang, Lijuan J.; Pitzele, Barnett S.; Hansen, Donald W., Jr.; Manning, Pamela T. |
| PATENT ASSIGNEE(S): | Pharmacia Corporation, USA |
| SOURCE: | PCT Int. Appl., 133 pp.<br>CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |
| FAMILY ACC. NUM. COUNT: | 1 |

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | |
|---|---|---|---|---|
| WO 2001078719 | A1 | 20011025 | WO 2001-US12258 | 20010413 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 2002049202 | A1 | 20020425 | US 2001-835191 | 20010413 |
| PRIORITY APPLN. INFO.: | | | US 2000-197032P | 20000413 |

AB Halogenated 2-amino-5,6-heptenoic acid derivs. R7N:CMeNHCH2CR1:CR2CH2CH2CH(NH2)C(O)J [R1, R2 = H, halo, alkyl, haloalkyl (at least one of R1 or R2 contains halogen); R7 = H, OH; J = OH, alkoxy, NR3R4, where R3 = H, alkyl, alkenyl, alkynyl and R4 = H, (un)substituted heterocyclyl] were prepd. for use as nitric oxide synthase (NOS) inhibitors. Thus, (2S,5E)-2-amino-6-fluoro-7-[(1-iminoethyl)amino]-5-heptenoic acid dihydrochloride was prepd. by a multistep procedure starting from L-glutamic acid and showed IC50 values 0.36, 68, 3.6, and 0.1 .mu.M in hiNOS, hecNOS, hncNOS, and human cartilage assays, resp.

IC ICM A61K031-221
ICS A61K031-195; A61K031-41; C07C259-14; C07C229-30; C07D271-06; C07D257-04

CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7

ST acetimidoylaminoheptenoic acid aminohalo prepn inhibitor nitric oxide synthase; haloaminoheptenoic acid prepn inhibitor nitric oxide synthase; aminoheptenoic acid halo prepn inhibitor nitric oxide synthase; heptenoic acid haloamino prepn inhibitor nitric oxide synthase

IT Alcoholism
Anti-inflammatory agents
Antiarthritics
Antirheumatic agents
Antitumor agents
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)

IT 54-11-5, Nicotine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)

IT 367967-68-8P 367967-69-9P 367967-70-2P 367967-71-3P
367967-72-4P 367967-73-5P 367967-74-6P 367967-75-7P
367967-76-8P 367967-77-9P 367967-78-0P 367967-79-1P
367967-80-4P 367967-81-5P 367967-82-6P 367967-83-7P
367967-84-8P 367967-85-9P 367967-86-0P 367967-87-1P
367967-88-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of halogenated aminoheptenoic acid derivs. useful as

nitric oxide synthase inhibitors)
IT 125978-95-2, Nitric oxide synthase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)
IT 367968-17-0P
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)
IT 56-86-0, L-Glutamic acid, reactions 77-76-9, 2,2-Dimethoxy propane 401-56-9, Ethyl chlorofluoroacetate 696-63-9, p-Methoxybenzenethiol 1000-84-6, Ethyl acetimidate 1074-82-4, Potassium phthalimide 1499-55-4, L-Glutamic acid 5-methyl ester 2356-16-3 4418-61-5, 5-Aminotetrazole 52386-40-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)
IT 45214-91-3P 59279-60-6P 126587-35-7P 129599-92-4P
129600-92-6P 136904-77-3P 144090-56-2P 192314-71-9P
206128-03-2P 367967-89-3P 367967-90-6P 367967-91-7P
367967-92-8P 367967-93-9P 367967-94-0P 367967-95-1P
367967-96-2P 367967-97-3P 367967-98-4P 367967-99-5P
367968-00-1P 367968-01-2P 367968-02-3P 367968-03-4P
367968-04-5P 367968-05-6P 367968-06-7P 367968-07-8P
367968-08-9P 367968-09-0P 367968-10-3P 367968-11-4P
367968-12-5P 367968-13-6P 367968-14-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of halogenated aminoheptenoic acid derivs. useful as nitric oxide synthase inhibitors)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 134:366693 MARPAT
TITLE: Preparation of bis(aminoalkyl- or amidinophenoxy)arylene- and heteroatom-interrupted alkanes and analogs as tryptase inhibitors
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Hamm, Rainer; Disse, Bernd; Jennewein, Hans Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19955476 | A1 | 20010523 | DE 1999-19955476 | 19991118 |
| WO 2001036374 | A2 | 20010525 | WO 2000-EP11216 | 20001114 |
| WO 2001036374 | A3 | 20020411 | | |

W: AE, AU, BG, BR, CA, CN, CZ, EE, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: DE 1999-19955476 19991118

AB B1Z1X1Z2X2ZX3Z3X4Z4B2 [I; B1,B2 = C(:NR1)NHR1', CH2NH2, CH2CH2NH2, ureido; R1,R1' = OH, COR2, CO2R2; R2 = H, alkyl, aryl(alkyl); X1-X4 = bond, CH2, CH2CH2, CH2O, CH2NH, etc.; Z = (heteroatom-interrupted)alkylene, G1(CH2)rG2 [X2 or X3 = (CH2)1-2], E1(CH2)rE2, etc.; E1,E2 = azacycloalkylene; G1,G1 = bond or cycloalkylene; Z1-Z4 = (un)substituted (hetero)arylene; r = 0-6] were prepd. Thus, 3-(ClH2C)C6H4CH2OC6H4(CH2CH2NHBoc)-4 was condensed with (CH2CMe2NH2)2 to give, after deprotection, the N,N'-bisbenzylated hexandiamine.4HCl. Data for biol. activity of I were given.

IC ICM C07C217-58
ICS C07C217-60; C07C213-02; C07D211-26; C07D295-12; C07C257-18; C07C259-10; C07C271-62; C12N009-99; A61K031-155

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

ST azaarylenealkane bisaminophenoxy bisamidinophenoxy prepn tryptase inhibitor; antiinflammatory azaarylenealkane bisaminophenoxy bisamidinophenoxy prepn; antiallergic azaarylenealkane bisaminophenoxy bisamidinophenoxy prepn

IT Allergy inhibitors
Anti-inflammatory agents
(prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and heteroatom-interrupted alkanes and analogs as tryptase inhibitors)

IT 97501-93-4, Tryptase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(mediated disorders; treatment; prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and heteroatom-interrupted alkanes and analogs as tryptase inhibitors)

IT 340284-41-5P 340284-43-7P 340284-44-8P 340284-45-9P
340284-46-0P 340284-48-2P 340284-49-3P 340284-50-6P
340284-51-7P 340284-52-8P 340284-53-9P 340284-54-0P
340284-55-1P 340284-56-2P 340284-57-3P 340284-58-4P
340284-59-5P 340284-60-8P 340284-61-9P 340284-62-0P
340284-63-1P 340284-64-2P 340284-65-3P 340284-66-4P
340284-67-5P 340284-68-6P 340284-69-7P 340284-70-0P
340284-71-1P 340284-72-2P 340284-73-3P 340284-74-4P
340284-75-5P 340284-76-6P 340284-77-7P 340284-78-8P
340284-79-9P 340284-80-2P 340284-81-3P 340284-82-4P
340284-83-5P 340284-84-6P 340284-85-7P 340284-86-8P
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340284-94-8P 340284-95-9P 340284-96-0P 340284-97-1P
340284-98-2P 340284-99-3P 340285-00-9P 340285-01-0P
340285-02-1P 340285-03-2P 340285-04-3P 340285-05-4P
340285-06-5P 340285-07-6P 340285-09-8P 340285-10-1P
340285-11-2P 340285-12-3P 340285-13-4P 340285-14-5P
340285-15-6P 340285-16-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and

heteroatom-interrupted alkanes and analogs as tryptase inhibitors)

IT 23578-35-0, 2,5-Diamino-2,5-dimethylhexane 255915-70-9 340284-87-9 340284-88-0 340284-89-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of bis(aminoalkyl- or amidinophenoxy)arylene- and heteroatom-interrupted alkanes and analogs as tryptase inhibitors)

L14 ANSWER 5 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 131:5098 MARPAT
TITLE: Acylation of aromatic compounds
INVENTOR(S): Baudry, Barbier Denise; Dormond, Alain; Richard, Stephanie; Desmurs, Jean Roger
PATENT ASSIGNEE(S): Rhodia Chimie, Fr.
SOURCE: Fr. Demande, 38 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2768729 | A1 | 19990326 | FR 1997-11701 | 19970919 |

OTHER SOURCE(S): CASREACT 131:5098

AB The title process takes place in the presence of, e.g., a rare earth halide. Thus, benzoylation of anisole gave 90.3% 4-(MeO)C6H4COPh in the presence of NdCl3.dioxane.

IC ICM C07C049-76
ICS C07C045-45; B01J027-125; B01J031-22

ICI B01J031-22, B01J103-26

CC 25-16 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

ST acylation arom rare earth catalyst; benzophenone prepn

IT Ketones, preparation
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(arom.; acylation of arom. compds.)

IT Acylation catalysts
Acylation catalysts
(benzoylation catalysts; acylation of arom. compds.)

IT Benzoylation
Benzoylation
(catalysts; acylation of arom. compds.)

IT 611-94-9P, p-Methoxybenzophenone 5672-94-6P, 1-Acetyl-2-methoxynaphthalene 5703-21-9P, 4-Acetylveratrole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(acylation of arom. compds.)

IT 91-16-7, Veratrole 93-04-9, 2-Methoxynaphthalene 98-88-4, Benzoyl chloride 100-66-3, Anisole, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of arom. compds.)

IT 10024-93-8, Neodymium trichloride 10361-92-9, Yttrium trichloride
RL: CAT (Catalyst use); USES (Uses)
(catalyst for acylation of arom. compds.)

L14 ANSWER 6 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 131:5097 MARPAT
TITLE: Acylation of aromatic compounds
INVENTOR(S): Baudry, Barbier Denise; Dormond, Alain; Richard, Stephanie; Bouazza, Aicha; Desmurs, Jean Roger
PATENT ASSIGNEE(S): Rhodia Chimie, Fr.
SOURCE: Fr. Demande, 28 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2768728 | A1 | 19990326 | FR 1997-11700 | 19970919 |
| FR 2768728 | B1 | 19991203 | | |

OTHER SOURCE(S): CASREACT 131:5097

AB The title process takes place in the presence of a U or uranyl halide. Thus, benzoylation of anisole gave 93% 4-(MeO)C6H4COPh after 1h reflux in the presence of a catalyst prepd. from U3O8 and HCl.

IC ICM C07C049-76
ICS C07C049-786; C07C049-84; B01J027-08

ICI B01J027-08, B01J103-28

CC 25-16 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

ST acylation arom uranium catalyst; benzophenone prepn

IT Ketones, preparation
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(arom.; acylation of arom. compds.)

IT Acylation catalysts
Acylation catalysts
(benzoylation catalysts; acylation of arom. compds.)

IT Benzoylation
Benzoylation
(catalysts; acylation of arom. compds.)

IT 134-84-9P 611-94-9P 954-16-5P 4044-60-4P 4885-14-7P 6317-73-3P 26086-67-9P 40777-50-2P 225780-54-1P 225780-55-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(acylation of arom. compds.)

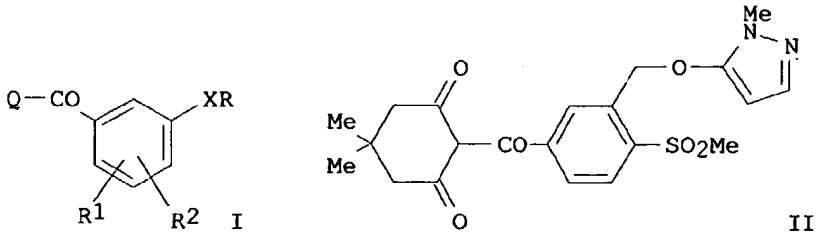
IT 95-93-2, Durene 98-88-4, Benzoyl chloride 100-20-9, 1,4-Benzenedicarbonyl dichloride 100-66-3, Anisole, reactions 101-84-8, Diphenyl oxide 106-42-3, p-Xylene, reactions 108-67-8, Mesitylene, reactions 108-88-3, Toluene, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of arom. compds.)

L14 ANSWER 7 OF 7 MARPAT COPYRIGHT 2002 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 130:196650 MARPAT
TITLE: 2-Benzoylcyclohexane-1,3-diones as herbicides
INVENTOR(S): Engel, Stefan; Rheinheimer, Joachim; Baumann, Ernst; Von Deyn, Wolfgang; Hill, Regina Luise; Mayer, Guido; Misslitz, Ulf; Wagner, Oliver; Witschel, Matthias; Otten, Martina; Walter,

Helmut; Westphalen, Karl-otto; et al.
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9910327 | A1 | 19990304 | WO 1998-EP4634 | 19980805 |
| W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9890684 | A1 | 19990316 | AU 1998-90684 | 19980805 |
| EP 1001938 | A1 | 20000524 | EP 1998-942611 | 19980805 |
| R: CH, DE, FR, GB, LI | | | | |
| JP 2001514171 | T2 | 20010911 | JP 2000-507656 | 19980805 |
| ZA 9807057 | A | 20000207 | ZA 1998-7057 | 19980806 |
| US 6432881 | B1 | 20020813 | US 2000-485231 | 20000207 |
| PRIORITY APPLN. INFO.: | | | DE 1997-19734164 | 19970807 |
| | | | WO 1998-EP4634 | 19980805 |

GI




AB The 2-benzoylcyclohexane-1,3-diones I [Q = (un)substituted 1,3-dioxo-2-cyclohexyl; X = nalkylene, oxaalkylene, thiaalkylene; R = heterocyclic; R1, R2 = H, NO2, halogen, CN, SCN, (un)substituted alkyl, OH, SH, SO3H, SO2NH2, NHSO2H, acylamino] were prepd. for use as herbicides (no data). Thus, Me 2-chloro-3-methyl-4-methylsulfonylbenzoate was treated with 1-methyl-5-pyrazolol, hydrolyzed to the acid and treated with dimedone to give the benzoylpyrazole II.

IC ICM C07D231-12
ICS C07D231-14; A01N043-56
CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5
ST benzoylcyclohexanedione prepn herbicide;
pyrazolylbenzoylcyclohexanedione prepn herbicide;
pyridylbenzoylcyclohexanedione prepn herbicide
IT Herbicides
(prepn. of benzoylcyclohexanediones as herbicides)
IT 220798-99-2P 220799-06-4P 220799-10-0P 220799-15-5P

220799-18-8P 220799-24-6P 220799-29-1P 220799-33-7P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoylcyclohexanediones as herbicides)

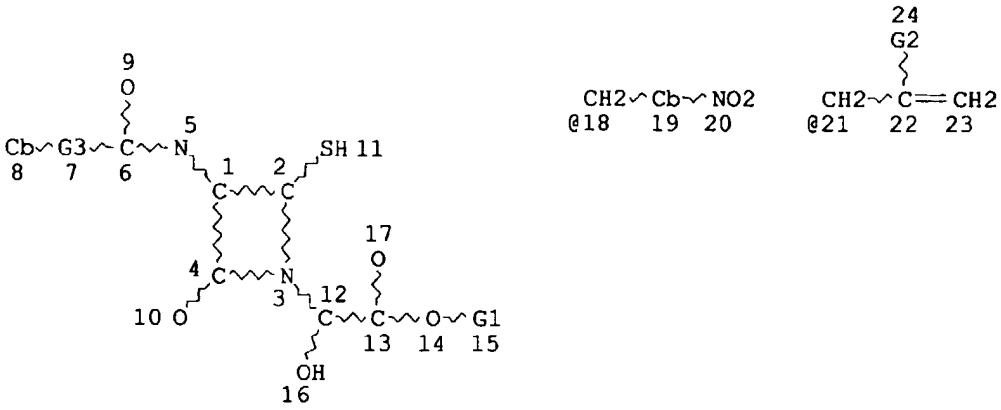
IT 126-81-8, Dimedone 33641-15-5, 5-Hydroxy-1-methylpyrazole 120100-04-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of benzoylcyclohexanediones as herbicides)

IT 120100-44-9P 220798-89-0P 220798-93-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzoylcyclohexanediones as herbicides)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

FILE 'MARPATPREV' ENTERED AT 15:49:55 ON 04 OCT 2002

L7 STR




VAR G1=18/21
VAR G2=H/CH3
REP G3=(1-6) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
MLEVEL IS CLASS ON RING NODES AND RING GROUPS
MLEVEL IS CLASS ON CHAIN NODES AND CHAIN GROUPS
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L15 0 SEA FILE=MARPATPREV SSS FUL L7 (MODIFIED ATTRIBUTES)

100.0% PROCESSED 127 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.06

=> fil hom
FILE 'HOME' ENTERED AT 15:50:21 ON 04 OCT 2002